# EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|---|---|---|---|---|---|---|
| L1 | 491 | 544/144.ccls. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:29 |
| L2 | 1102 | 548/465.ccls. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:29 |
| L3 | 1464 | l1 or l2 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:29 |
| L4 | 597 | 544/137.ccls. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:31 |
| L5 | 2033 | l3 or l4 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:31 |
| L6 | 148 | l5 and thrombosis | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:32 |
| L7 | 0 | l5 and antibacteria | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:32 |

# EAST Search History

| | | | | | | |
|---|---|---|---|---|---|---|
| L8 | 210 | l5 and antibacteria$ | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:33 |
| L9 | 337 | l6 or l8 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB | OR | ON | 2008/01/18 11:32 |

STN Structure Search - Claims 64-66

Registry / Caplus



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| NEWS 1 | | Web Page for STN Seminar Schedule - N. America |
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| NEWS 3 | AUG 06 | FSTA enhanced with new thesaurus edition |
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| NEWS 5 | AUG 20 | CA/CAplus enhanced with CAS indexing in pre-1907 records |
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| NEWS 7 | AUG 27 | USPATOLD now available on STN |
| NEWS 8 | AUG 28 | CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS 9 | SEP 07 | STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS 10 | SEP 13 | FORIS renamed to SOFIS |
| NEWS 11 | SEP 13 | INPADOCDB enhanced with monthly SDI frequency |
| NEWS 12 | SEP 17 | CA/CAplus enhanced with printed CA page images from 1967-1998 |
| NEWS 13 | SEP 17 | CAplus coverage extended to include traditional medicine patents |
| NEWS 14 | SEP 24 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS 15 | OCT 02 | CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt |
| NEWS 16 | OCT 19 | BEILSTEIN updated with new compounds |
| NEWS 17 | NOV 15 | Derwent Indian patent publication number format enhanced |
| NEWS 18 | NOV 19 | WPIX enhanced with XML display format |
| NEWS 19 | NOV 30 | ICSD reloaded with enhancements |
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| NEWS 21 | DEC 14 | BEILSTEIN pricing structure to change |
| NEWS 22 | DEC 17 | USPATOLD added to additional database clusters |
| NEWS 23 | DEC 17 | IMSDRUGCONF removed from database clusters and STN |
| NEWS 24 | DEC 17 | DGENE now includes more than 10 million sequences |
| NEWS 25 | DEC 17 | TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment |
| NEWS 26 | DEC 17 | MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary |
| NEWS 27 | DEC 17 | CA/CAplus enhanced with new custom IPC display formats |
| NEWS 28 | DEC 17 | STN Viewer enhanced with full-text patent content from USPATOLD |
| NEWS 29 | JAN 02 | STN pricing information for 2008 now available |
| NEWS 30 | JAN 16 | CAS patent coverage enhanced to include exemplified prophetic substances |

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DICTIONARY FILE UPDATES:  17 JAN 2008  HIGHEST RN 1000264-70-9

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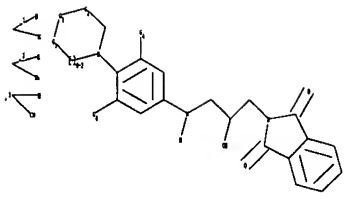

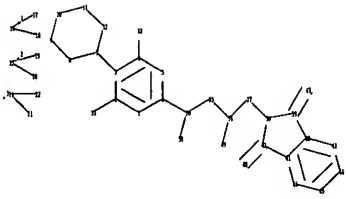

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ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 38 39 40 41 42 43 44 45 46
chain bonds :
2-33 3-7 4-32 6-30 14-17 14-18 15-19 15-20 16-21 16-22 30-35 30-50
35-36 36-37 36-49 37-38 39-47 42-48
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 38-39 38-42
39-40 40-41 40-43 41-42 41-46 43-44 44-45 45-46
exact/norm bonds :
2-33 3-7 4-32 6-30 7-8 7-12 8-9 9-10 10-11 11-12 14-17 14-18 15-19
15-20 16-21 16-22 30-35 30-50 35-36 36-37 36-49 37-38 38-39 38-42 39-40
39-47 41-42 42-48
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 40-41 40-43 41-46 43-44 44-45 45-46

G1:O,S

G2:[*1],[*2],[*3]

G3:[*1],[*2]

G4:H,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 30:CLASS 32:CLASS 33:CLASS 35:CLASS 36:CLASS
37:CLASS 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom
46:Atom 47:CLASS 48:CL

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L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1
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SAMPLE SCREEN SEARCH COMPLETED -        2 TO ITERATE

100.0% PROCESSED        2 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            2 TO      124
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
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100.0% PROCESSED       18 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

L3            2 SEA SSS FUL L1

=> fil caplus
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                                                     ENTRY    SESSION
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=> s l3
L4 7 L3

=> d ibib abs hitstr 1-7

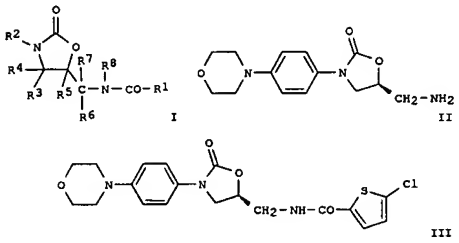
L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:410196 CAPLUS
DOCUMENT NUMBER: 146:421970
TITLE: Preparation of oxazolidinones for the treatment of cerebral circulatory disorders
INVENTOR(S): Perzborn, Elisabeth; Krahn, Thomas
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 132pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007039134 | A1 | 20070412 | WO 2006-EP9204 | 20060922 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2005-102005047558A 20051004

OTHER SOURCE(S): MARPAT 146:421970
GI

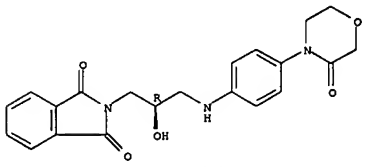


AB Title compds. I [R1 = substituted 2-thiophene with provisos; R2 = D-A-; A = phenylene; D = 5- or 6-membered heterocycle; R3, R4, R5, R6, R7, R8 = H] and their pharmaceutically acceptable salts and formulations were prepd. For example, coupling of amine II and 5-chlorothiophene-2-carboxylic acid afforded oxazolidinone III. In a blood-coagulation factor Xa inhibition assay, compd. III exhibited an IC50 value of 43 nM.

IT 446292-07-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for treatment of cerebral circulatory disorders)

RN 446292-07-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

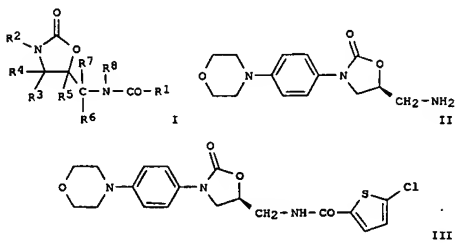
L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:409419 CAPLUS
DOCUMENT NUMBER: 146:421968
TITLE: Preparation of oxazolidinones for the treatment of microangiopathy
INVENTOR(S): Perzborn, Elisabeth; Misselwitz, Frank
PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany
SOURCE: Ger. Offen., 84pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 102005048824 | A1 | 20070412 | DE 2005-102005048824 | 20051010 |
| WO 2007042146 | A1 | 20070419 | WO 2006-EP9373 | 20060927 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2005-102005048824A 20051010

OTHER SOURCE(S): MARPAT 146:421968
GI

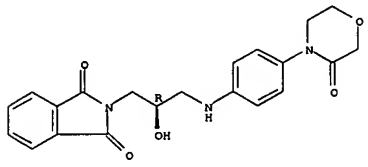


AB Title compds. I [R1 = substituted 2-thiophene with provisos; R2 = D-A-; A = phenylene; D = 5 or 6-membered heterocycle; R3, R4, R5, R6, R7, R8 = H] and their pharmaceutically acceptable salts and formulations were prepd. For example, coupling of amine II and 5-chlorothiophen-2-carboxylic acid afforded oxazolidinone III. In a blood-coagulation factor Xa inhibition assay, oxazolidinone III exhibited an IC50 value of 43 nM.

IT 446292-07-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones for treatment of microangiopathy)

RN 446292-07-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:77302 CAPLUS
DOCUMENT NUMBER: 144:170978
TITLE: A process for the preparation of novel intermediates for linezolid and related compounds
INVENTOR(S): Mohan Rao, Dodda; Krishna Reddy, Pingili
PATENT ASSIGNEE(S): Symed Labs Limited, India
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

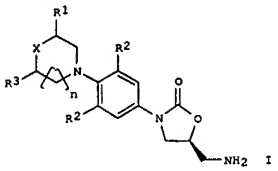
Instant App.

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2006008754 | A1 | 20060126 | WO 2004-IN218 | 20040720 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| EP 1768967 | A1 | 20070404 | EP 2004-770675 | 20040720 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 2006247435 | A1 | 20061102 | US 2005-524623 | 20050216 |
| PRIORITY APPLN. INFO.: | | | WO 2004-IN218 W | 20040720 |

OTHER SOURCE(S): CASREACT 144:170978; MARPAT 144:170978
GI

7/20/04

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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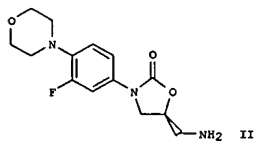
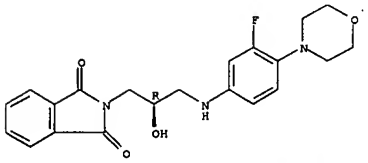


II

AB The invention provides a process for preparation of 5-aminomethyl-substituted oxazolidinones of formula I, key intermediates for oxazolidinone antibacterials including linezolid. Compds. of formula I wherein X is O,S, SO, or SO2; R1 is H, Me, or CN; R2 is independently H, F, or Cl; R3 is H or Me;, n is 0, 1, or 2; and their derivs. are claimed in this invention. Thus, the key intermediate II of linezolid was prepared by reacting N-[3-chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinylaniline with potassium phthalimide then subjecting the resulting N-[3-phthalimido-2-(R)-hydroxypropyl]-3-fluoro-4-(morpholinyl)aniline to carbonylation to give (S)-N-[[3-[3-fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]phthalimide, which reacted with hydrazine hydrate to produce (S)-N-[[3-[3-fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amine (II).
IT 874340-08-6P, N-(3-Phthalimido-2-(R)-hydroxypropyl)-3-fluoro-4-(morpholinyl)aniline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(a process for the preparation of novel intermediates for linezolid and related compds.)
RN 874340-08-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2R)-3-[[3-fluoro-4-(4-morpholinyl)phenyl]amino]-2-hydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

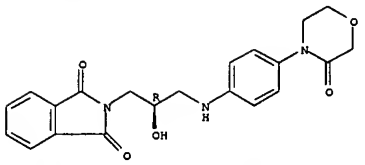


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:810223 CAPLUS
DOCUMENT NUMBER: 143:318362
TITLE: Discovery of the Novel Antithrombotic Agent 5-Chloro-N-{((5S)-2-oxo-3-[4-(3-oxomorpholin-4-yl)phenyl]-1,3-oxazolidin-5-yl]methyl}thiophene-2-carboxamide (BAY 59-7939): An Oral, Direct Factor Xa Inhibitor
AUTHOR(S): Roehrig, Susanne; Straub, Alexander; Pohlmann, Jens; Lampe, Thomas; Pernerstorfer, Josef; Schlemmer, Karl-Heinz; Reinemer, Peter; Perzborn, Elisabeth
CORPORATE SOURCE: Bayer HealthCare AG, Wuppertal, D-42096, Germany
SOURCE: Journal of Medicinal Chemistry (2005), 48(19), 5900-5908
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:318362
AB Despite recent progress in antithrombotic therapy, there is still an unmet medical need for safe and orally available anticoagulants. The coagulation enzyme Factor Xa (FXa) is a particularly promising target, and recent efforts in this field have focused on the identification of small-mol. inhibitors with good oral bioavailability. We identified oxazolidinone derivs. as a new class of potent FXa inhibitors. Lead optimization led to the discovery of BAY 59-7939 (5), a highly potent and selective, direct FXa inhibitor with excellent in vivo antithrombotic activity. The X-ray crystal structure of 5 in complex with human FXa clarified the binding mode and the stringent requirements for high affinity. The interaction of the neutral ligand chlorothiophene in the S1 subsite allows for the combination of good oral bioavailability and high potency for nonbasic 5. Compound 5 is currently under clin. development for the prevention and treatment of thromboembolic diseases.
IT 446292-07-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oxazolidinones preparation, factor Xa inhibition and structure-related oral antithrombotic action)
RN 446292-07-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

Rivaroxaban

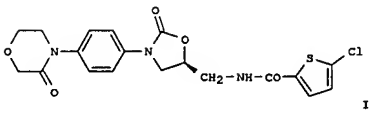
ACCESSION NUMBER: 2005:673289 CAPLUS
DOCUMENT NUMBER: 143:172902
TITLE: Preparation of rivaroxaban
INVENTOR(S): Berwe, Mathias; Thomas, Christian; Rehse, Joachim; Grotjohann, Dirk
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005068456 | A1 | 20050728 | WO 2004-EP14870 | 20041231 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 102004002044 | A1 | 20050804 | DE 2004-102004002044 | 20040115 |
| AU 2004313694 | A1 | 20050728 | AU 2004-313694 | 20041231 |
| CA 2553237 | A1 | 20050728 | CA 2004-2553237 | 20041231 |
| EP 1720866 | A1 | 20061115 | EP 2004-804455 | 20041231 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV | | | | |
| CN 1906191 | A | 20070131 | CN 2004-80040552 | 20041231 |
| BR 2004018405 | A | 20070515 | BR 2004-18405 | 20041231 |
| JP 2007517816 | T | 20070705 | JP 2006-548182 | 20041231 |
| US 2005182055 | A1 | 20050818 | US 2005-32815 | 20050110 |
| IN 2006DN03734 | A | 20070420 | IN 2006-DN3734 | 20060629 |
| MX 2006PA07902 | A | 20060926 | MX 2006-PA7902 | 20060710 |
| NO 2006003677 | A | 20061011 | NO 2006-3677 | 20060815 |

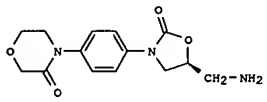
PRIORITY APPLN. INFO.: DE 2004-102004002044A 20040115
WO 2004-EP14870 W 20041231

OTHER SOURCE(S): CASREACT 143:172902
GI

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



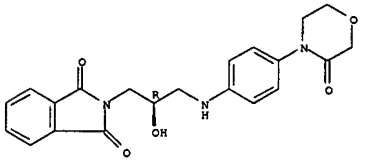
I



II

AB Title compound I [Rivaroxaban] was prepared For example, N-acylation of amine
II with 2-chloro-5-carboxythiophene afforded title compound I in 98.7% yield.
IT 446292-07-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of rivaroxaban)
RN 446292-07-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:5775 CAPLUS
DOCUMENT NUMBER: 138:89797
TITLE: Preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases
INVENTOR(S): Straub, Alexander; Lampe, Thomas; Perner-Storfer, Josef; Perzborn, Elisabeth; Pohlmann, Jens; Roehrig, Susanne; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003000256 | A1 | 20030103 | WO 2002-EP6237 | 20020607 |
| WO 2003000256 | A9 | 20030206 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10129725 | A1 | 20030102 | DE 2001-10129725 | 20010620 |
| CA 2451258 | A1 | 20030103 | CA 2002-2451258 | 20020607 |
| AU 2002312982 | A1 | 20030108 | AU 2002-312982 | 20020607 |
| EE 200400020 | A | 20040415 | EE 2004-20 | 20020607 |
| EP 1411932 | A1 | 20040428 | EP 2002-738154 | 20020607 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002010941 | A | 20040608 | BR 2002-10941 | 20020607 |
| CN 1523986 | A | 20040825 | CN 2002-812411 | 20020607 |
| HU 2004000240 | A2 | 20040830 | HU 2004-240 | 20020607 |
| JP 2004534083 | T | 20041111 | JP 2003-506901 | 20020607 |
| NZ 530223 | A | 20050729 | NZ 2002-530223 | 20020607 |
| MX 2003PA11519 | A | 20041028 | MX 2003-PA11519 | 20031211 |
| BG 108443 | A | 20050331 | BG 2003-108443 | 20031212 |
| ZA 2003009799 | A | 20041220 | ZA 2003-9799 | 20031218 |
| NO 2003005743 | A | 20040217 | NO 2003-5743 | 20031219 |
| US 2004242660 | A1 | 20041202 | US 2004-481297 | 20040628 |
| IN 2004DN04054 | A | 20070427 | IN 2004-DN4054 | 20041220 |

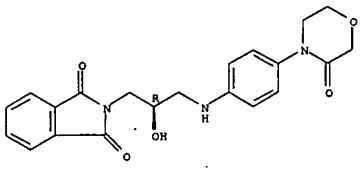
PRIORITY APPLN. INFO.: DE 2001-10129725 A 20010620
WO 2002-EP6237 W 20020607
IN 2003-DN2042 A3 20031128

OTHER SOURCE(S): MARPAT 138:89797
GI

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to combinations of (A) oxazolidinones I [R1 = 5-X-2-thienyl (X = Cl, Br, Me, CF3); R2 = DA; A = phenylene; D = 5- or 6-membered heterocyclic ring containing S, N or O; R4 - R8 = H], or their pharmaceutically acceptable salts, hydrates, prodrugs or their mixts. and (B) other pharmaceutically active ingredients; to a method for producing said combinations; and to the use thereof as medicaments, in particular for the treatment and/or prophylaxis of thrombo-embolic diseases. Thus, the claimed oxazolone II was prepared from epoxide III via epoxide ring opening with aniline derivative IV, cyclization with carbonyldiimidazole, and N-acylation with 5-chlorothiophene-2-sulfonyl chloride. II was tested for antithrombotic activity in the arteriovenous shunt model (Rat) after [ED50 = 3 mg/kg (p.o.); IC50 = 0.7 nM]; II had a synergistic effect when used in combination with clopidogrel.
IT 446292-07-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted oxazolidinones for combinational therapy in the treatment and/or prophylaxis of thromboembolic diseases)
RN 446292-07-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

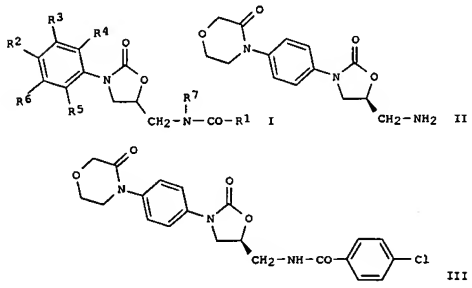
L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:609543 CAPLUS
DOCUMENT NUMBER: 137:169507
TITLE: Preparation of oxazolidinones and their use as inhibitors of human blood-coagulation factor Xa
INVENTOR(S): Straub, Alexander; Lampe, Thomas; Perner-storrer, Josef; Perzborn, Elisabeth; Pohlmann, Jens; Roehrig, Susanne; Schlemmer, Karl-Heinz
PATENT ASSIGNEE(S): Bayer Ag, Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 10105989 | A1 | 20020814 | DE 2001-10105989 | 20010209 |
| CA 2437587 | A1 | 20020822 | CA 2002-2437587 | 20020128 |
| WO 2002064575 | A1 | 20020822 | WO 2002-EP857 | 20020128 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002235875 | A1 | 20020828 | AU 2002-235875 | 20020128 |
| EP 1366029 | A1 | 20031203 | EP 2002-702317 | 20020128 |
| EP 1366029 | B1 | 20050928 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004521905 | T | 20040722 | JP 2002-564508 | 20020128 |
| ES 2250612 | T3 | 20060416 | ES 2002-2702317 | 20020128 |
| US 2005080081 | A1 | 20050414 | US 2004-470861 | 20040409 |
| US 7034017 | B2 | 20060425 | | |
| US 2006173047 | A1 | 20060803 | US 2006-394543 | 20060331 |

PRIORITY APPLN. INFO.: DE 2001-10105989 A 20010209
WO 2002-EP857 W 20020128
US 2004-470861 A3 20040409

OTHER SOURCE(S): MARPAT 137:169507
GI

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [R1 = (un)substituted aryl or heteroaryl with 1-2 heteroatoms, e.g. N, O, S; R2 = CONR8R9, NR10COR11, N(O)xR12R13; R3-R6 = H, halo, alkyl, etc.; R7 = H, alkyl; R8 = H, (un)substituted alkyl, e.g., halo, amino, OH, etc.; R9-R11 = (un)substituted alkyl, e.g., halo, amino, OH, etc.; R8 and R9 are bond together with N atom to form a heterocyclic ring; R10, R11 with N(CO) form a heterocyclic ring; R12 and R13 are bond together with N atom to form a heterocyclic ring; x = 0, 1] were prepared For example, coupling of II, e.g., prepared from 2-[(2S)-oxiranylmethyl]-1H-isoindole-1,3(2H)-dione in 3 steps, and 4-chlorobenzoyl chloride provide claimed oxazolidinone III in 89% yield. Oxazolidinone III inhibited human blood-coagulation factor Xa with an IC50 of 20 nM. Compds. I are useful in the area of blood coagulation.
IT 446292-07-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of oxazolidinones and their use as inhibitors of human blood-coagulation factor Xa)
RN 446292-07-5 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(2R)-2-hydroxy-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)